Connecting via Winsock to STN

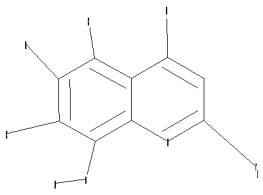
Welcome to STN International! Enter x:x

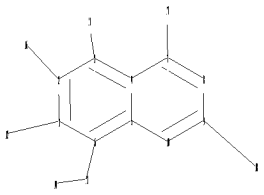
 * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:11:23 ON 15 APR 2008

=> file reg

=>
Uploading C:\Program Files\Stnexp\Queries\5.str





chain nodes :
11 12 13 14 16 17 18
ring nodes :

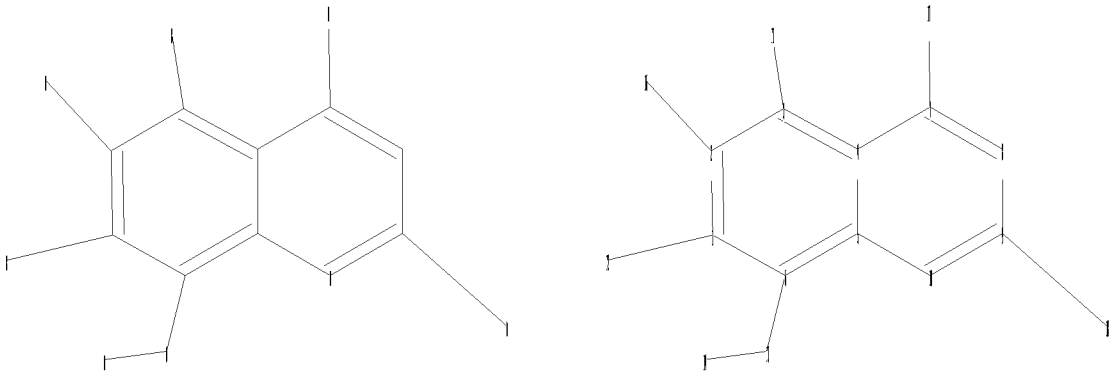
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-12 2-16 3-11 6-13 7-17 9-18 13-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :
6-13 9-18
exact bonds :
1-12 2-16 3-11 7-17 13-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

G1:CH3,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 16:CLASS 17:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\4.str



chain nodes :
11 12 13 14 16 17
ring nodes :
1 2 3 4 5 6 7 8 9 10
ring/chain nodes :
18
chain bonds :
1-12 2-16 3-11 6-13 7-17 9-18 13-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :
6-13 9-18
exact bonds :
1-12 2-16 3-11 7-17 13-14

normalized bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 16:CLASS 17:CLASS 18:CLASS

L2 STRUCTURE UPLOADED

=> s l1 full
L4 29 SEA SSS FUL L1

=> s l2 full

L5 225 SEA SSS FUL L2

=> s l5 not l4
L6 196 L5 NOT L4

=> file ca

=> s l6
L7 37 L6

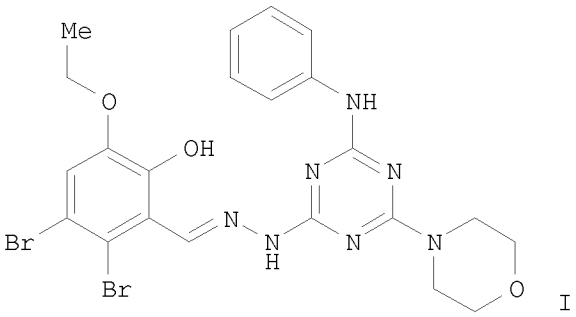
=> d ibib abs fhitstr 1-37

L7 ANSWER 1 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:254187 CA
TITLE: Compositions and methods using triazines and other heterocyclic compounds for modulating apoptosis in cells over-expressing Bcl-2 family member proteins
INVENTOR(S): Wu, Jay Jie-Qiang; Hockenbery, David M.; Wang, Ling; Guo, Jianxin
PATENT ASSIGNEE(S): Fred Hutchinson Cancer Research Center, USA; Vm Discovery, Inc.
SOURCE: PCT Int. Appl., 75pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021250	A2	20080221	WO 2007-US17815	20070810

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,

TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: US 2006-836918P P 20060810
OTHER SOURCE(S): MARPAT 148:254187
GI



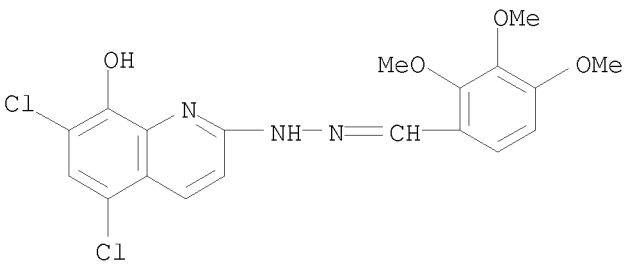
I

AB The invention discloses triazines and other heterocyclic compds. for modulating apoptosis in cells over expressing Bcl-2 Family member proteins. The invention also relates to pharmaceutical compns. containing these compds., and methods of using the compds. e.g. for treating cancer. Compds. of the invention include e.g. I.

IT 363601-36-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(triazines and other heterocyclic compds. for modulating apoptosis in cells over-expressing Bcl-2 family member proteins)

RN 363601-36-9 CA

CN Benzaldehyde, 2,3,4-trimethoxy-, 2-(5,7-dichloro-8-hydroxy-2-quinolinyl)hydrazone (CA INDEX NAME)



L7 ANSWER 2 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:239024 CA
TITLE: Indole compounds for treating pain, inflammation and other conditions
INVENTOR(S): Talley, John Jeffrey; Sprott, Kevin; Pearson, James Philip; Milne, G. Todd; Schairer, Wayne; Yang, Jing

Jing; Kim, Charles; Barden, Timothy; Lundigran, Regina; Mermerian, Ara; Currie, Mark G.

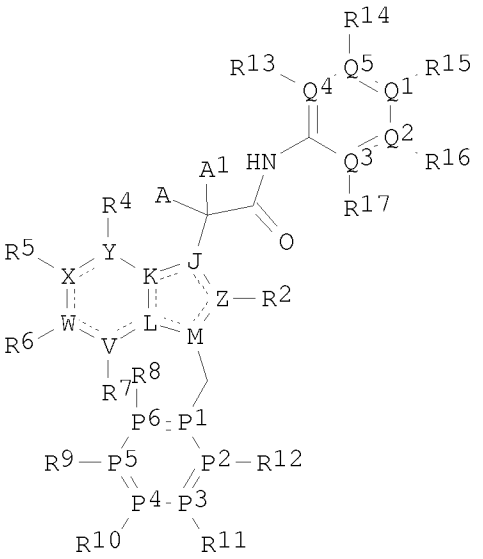
PATENT ASSIGNEE(S): Microbia, Inc., USA
SOURCE: PCT Int. Appl., 877pp., which which
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008019357	A2	20080214	WO 2007-US75332	20070807

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-836108P P 20060807
US 2006-875792P P 20061218
US 2007-945306P P 20070620
OTHER SOURCE(S): MARPAT 148:239024
GI

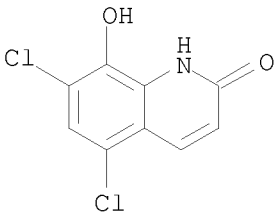


I

AB The title indoles such as I [V, W, X, Y, Z, J, K, L and M = N or C; P1-P6 = N or C; Q1-Q5 = N or C; A and A1 = OH or (un)substituted alkoxy; or A and A1 taken together = O, N(OH), N(OMe); or A and A1 together with the carbon atom to which they are attached form a cyclic ketal containing a total of 4 or 5 carbon atoms which can be optionally substituted; R2 = halo, OH, NO2, etc.; R4-R17 = absent, H, halo, NO2, etc.; with the provisos] that are useful for treating pain, inflammation and other conditions are described. Certain of the compds. I are benzyl derivs. and others are benzoyl derivs. The compds. I are substituted at least at the 3 position of the indole. General synthetic methods for the preparation of compds. I are described. E.g., a multi-step synthesis of {1-[(5-chlorothien-2-yl)carbonyl]-6-fluoro-5-hydroxy-2-methyl-1H-indole-3-yl}acetic acid, starting from 3-fluoro-4-methoxyaniline, was given. Pharmaceutical composition comprising the compound I is disclosed.

IT 73098-36-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole compds. useful in treatment of pain, inflammation and other diseases)

RN 73098-36-9 CA
CN 2(1H)-Quinolinone, 5,7-dichloro-8-hydroxy- (CA INDEX NAME)



L7 ANSWER 3 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:93193 CA
TITLE: Method using fused heterocyclic compounds for the treatment of glioma brain tumors
INVENTOR(S): Bush, Ashley
PATENT ASSIGNEE(S): Prana Biotechnology Limited, Australia
SOURCE: PCT Int. Appl., 115pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007147217	A1	20071227	WO 2007-AU876	20070622

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-815779P P 20060622

OTHER SOURCE(S): MARPAT 148:93193

AB The invention discloses therapeutic agents, formulations comprising them, and their use in the treatment, amelioration and/or prophylaxis of glioma brain tumors and related conditions. The therapeutic agent comprises two fused 6-membered rings with at least a nitrogen at position 1 and a hydroxyl at position 8.

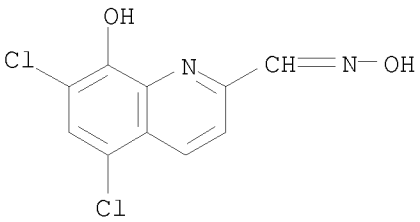
IT 648896-83-7

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fused heterocyclic compds. for treatment of glioma)

RN 648896-83-7 CA

CN 2-Quinolinecarboxaldehyde, 5,7-dichloro-8-hydroxy-, oxime (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 147:480413 CA

TITLE: Method using PB-1033 and related compounds for the treatment of age-related macular degeneration (AMD)

INVENTOR(S): Bush, Ashley; Masters, Colin Louis

PATENT ASSIGNEE(S): Prana Biotechnology Ltd, Australia

SOURCE: PCT Int. Appl., 109pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007118276	A1	20071025	WO 2007-AU490	20070413

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

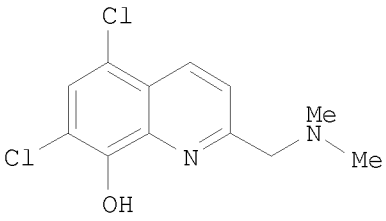
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-792278P P 20060414

OTHER SOURCE(S): MARPAT 147:480413

GI



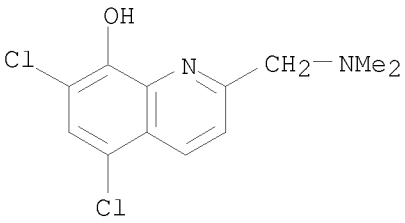
I

AB The invention relates generally to the field of treatment and prophylaxis of retinal degenerative diseases. More particularly, the invention contemplates a method for preventing, reducing the risk of development of, or otherwise treating or ameliorating the symptoms of, age-related macular degeneration (AMD) or related retinal conditions in mammals and in particular humans. The invention further provides therapeutic compns. enabling dose-dependent or dose-specific administration of agents useful in the treatment and prophylaxis of age-related macular degeneration or related retinal degenerative conditions. Compds. useful invention include PB-1033 (I) and related compds.

IT 648896-70-2
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PB-1033 and related compds. for treatment of age-related macular degeneration)

RN 648896-70-2 CA

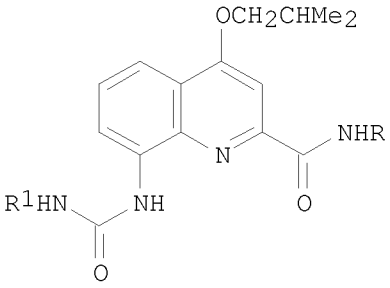
CN 8-Quinolinol, 5,7-dichloro-2-[(dimethylamino)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:277411 CA
TITLE: Anion receptors based on a quinoline backbone
AUTHOR(S): Albrecht, Markus; Triyanti; Schiffers, Stefanie; Osetska, Olga; Raabe, Gerhard; Weinland, Thomas
CORPORATE SOURCE: Institut fuer Organische Chemie, RWTH Aachen, Aachen, 52072, Germany
SOURCE: European Journal of Organic Chemistry (2007), (17), 2850-2858
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:277411
GI



I

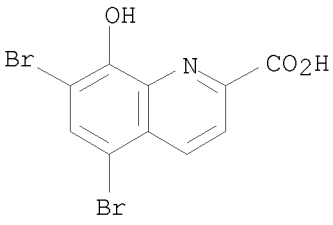
AB Ureidoquinolinecarboxamides I [R = BuCH2CH2, Ph; R1 = Me(CH2)7, Bu, Ph] are prepared as potential receptors for anions; their binding of fluoride, chloride, bromide, nitrate, and benzoate anions in chloroform is determined by NMR and fluorescence spectroscopy. I [R = BuCH2CH2, Ph; R1 = Me(CH2)7, Bu, Ph] complex fluoride ion more strongly than other anions in chloroform; I (R = BuCH2CH2; R1 = Ph) binds fluoride with both the highest selectivity and affinity of the compds. tested. The binding energy of fluoride, chloride, and bromide anions to 8-ureido-2-quinolinecarboxamide, the structures of the 1:1 anion complexes, the natural atomic charges of the halide anions, and the charge transfer energies of the complexes are determined computationally; calcns. indicate that halides other than fluoride do not fit well into the binding region of 8-ureido-2-quinolinecarboxamide, and so bind with decreased affinities. The structures of the DMSO monosolvates of I (R = R1 = Ph) and of 5,7-dibromo-8-hydroxy-2-quinolinecarboxylic acid and of the monoacetonitrile solvate of I (R = Ph; R1 = Bu) are determined by X-ray crystallog.

IT 946437-01-0
RL: PRP (Properties)
(preparation of a dibromohydroxyquinolinecarboxylic acid as a lead compound for quinoline-based anion-binding agents and the crystal structure of its mono-DMSO solvate)

RN 946437-01-0 CA
CN 2-Quinolinecarboxylic acid, 5,7-dibromo-8-hydroxy-, compd. with 1,1'-sulfinylbis[methane] (1:1) (CA INDEX NAME)

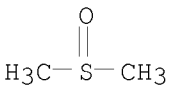
CM 1

CRN 946437-00-9
CMF C10 H5 Br2 N O3



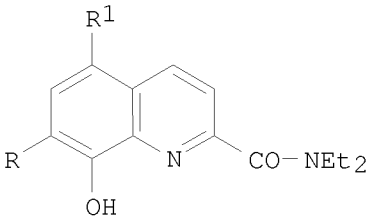
CM 2

CRN 67-68-5
CMF C2 H6 O S



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:132045 CA
TITLE: Enhancement of near-IR emission by bromine substitution in lanthanide complexes with 2-carboxamide-8-hydroxyquinoline
AUTHOR(S): Albrecht, Markus; Osetska, Olga; Klankermayer, Juergen; Froehlich, Roland; Gumy, Frederic; Buenzli, Jean-Claude G.
CORPORATE SOURCE: Institut fuer Organische Chemie, RWTH Aachen, Aachen, D-52074, Germany
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2007), (18), 1834-1836
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:132045
GI



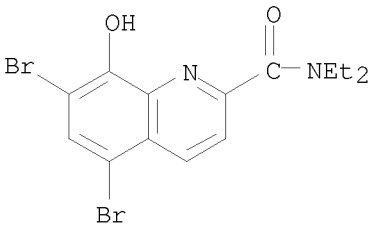
I

AB Three novel 2-carboxamide-8-hydroxyquinoline derivs. I (R = R1 = H; R = H, R1 = Br) wrap helically around trivalent lanthanide ions to form monometallic 3:1 complexes possessing strong NIR emission.

IT 942916-67-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(complexation with lanthanides)

RN 942916-67-8 CA

CN 2-Quinolinecarboxamide, 5,7-dibromo-N,N-diethyl-8-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:87695 CA
TITLE: Useful indole compounds
INVENTOR(S): Bartolini, Wilmin; Cali, Brian M.; Chen, Barbara; Chien, Yueh-Tyng; Currie, Mark G.; Milne, G. Todd; Pearson, James Philip; Talley, John Jeffrey; Yang, Jing Jing; Zimmerman, Craig; Kim, Charles; Sprott, Kevin; Barden, Timothy; Lundigran, Regina; Mermerian, Ara
PATENT ASSIGNEE(S): Microbia, Inc., USA
SOURCE: PCT Int. Appl., 670pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007070892	A2	20070621	WO 2006-US62265	20061218

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-751443P P 20051216

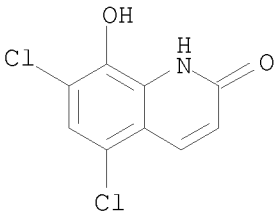
OTHER SOURCE(S): MARPAT 147:87695

AB Indoles that have activity as inhibitors of FAAH (fatty acid amide hydrolase) are described as are indoles and indole derivs. that have activity as inhibitors of DAO (D-amino acid oxidase).

IT 73098-36-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(useful indole compds. that are inhibitors of fatty acid amide hydrolase and D-amino acid oxidase for treating diseases)

RN 73098-36-9 CA

CN 2(1H)-Quinolinone, 5,7-dichloro-8-hydroxy- (CA INDEX NAME)



L7 ANSWER 8 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 146:229194 CA

TITLE: Preparation of polyquinoline metal ligand complexes and the therapeutic use thereof in treatment of neurodegenerative disorders

INVENTOR(S): Deraeve, Celine; Pitie, Marguerite; Boldron, Christophe; Meunier, Bernard

PATENT ASSIGNEE(S): Palumed S.A., Fr.; Centre National De La Recherche Scientifique (C.N.R.S)

SOURCE: PCT Int. Appl., 133pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

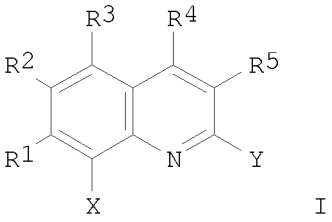
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

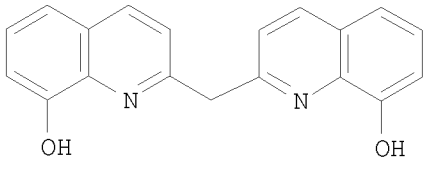
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007015017	A2	20070208	WO 2006-FR1906	20060804
WO 2007015017	A3	20070510		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
FR 2889525	A1	20070209	FR 2005-8351	20050804

PRIORITY APPLN. INFO.: FR 2005-8351 A 20050804

OTHER SOURCE(S): MARPAT 146:229194
GI



I

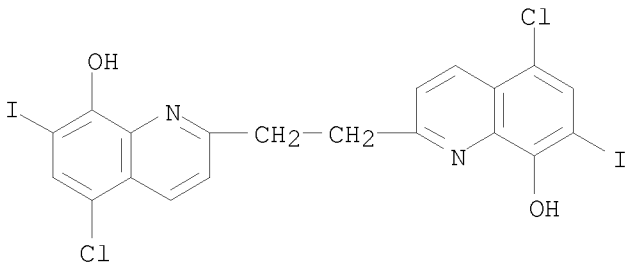


II

AB Polyquinoline I, wherein X is OR, NRR', S(O)pR, OCOR, OCOOR, substituted N-containing heterocycle; Y is N-containing heterocycle, H, OR, NRR', halogen, CN, CF3, alkyl; R and R' are independently H, cycloalkyl, alkyl; R1-R5 are independently H, OR, NRR', halogen, CN, CF3, S(O)pR, COOR, OCOOR, CONRR', NRCOOR', alkyl; p is 1-2; were prepared and used thereof in the form of therapeutic agents in treatment of neurodegenerative disorders such as Alzheimer, Parkinson, encephalopathy, Huntington, amyotrophic lateral sclerosis, Down's syndrome. Thus, quinoline II ligand complexes with copper and zinc were prepared and used in the treatment of neurodegenerative disorders. Title metal complexes were tested in vitro and used to dissolve β-amyloid peptide aggregates and inhibit or diminish to generation of H2O2 for the treatment of Alzheimer, Parkinson, encephalopathy, Huntington, amyotrophic lateral sclerosis, Down's syndrome diseases.

IT 924895-74-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of polyquinoline metal ligand complexes and the therapeutic use thereof in treatment of neurodegenerative disorders)

RN 924895-74-9 CA
CN 8-Quinolinol, 2,2'-(1,2-ethanediyl)bis[5-chloro-7-iodo- (CA INDEX NAME)



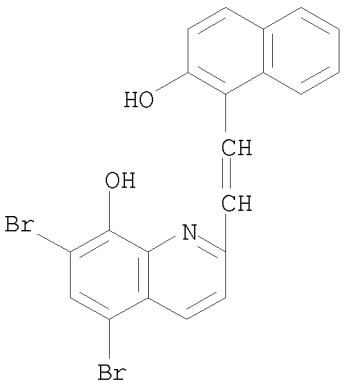
L7 ANSWER 9 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 146:176292 CA
TITLE: Inhibitors of human indoleamine 2,3-dioxygenase identified with a target-based screen in yeast
AUTHOR(S): Vottero, Eduardo; Balgi, Aruna; Woods, Kate; Tugendreich, Stuart; Melese, Teri; Andersen, Raymond J.; Mauk, A. Grant; Roberge, Michel

CORPORATE SOURCE: Department of Biochemistry and Molecular Biology, University of British Columbia, Vancouver, BC, Can.
SOURCE: Biotechnology Journal (2006), 1(3), 282-288
CODEN: BJIOAM; ISSN: 1860-6768
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Indoleamine 2,3-dioxygenase (IDO) is a tryptophan degradation enzyme that is emerging as an important drug target. IDO is expressed by many human tumors to help them escape immune detection, and it has been implicated in depression and in the formation of senile nuclear cataracts. There is a need for potent and selective IDO inhibitors for use in research and as lead compds. for drug development. We show that expression of human IDO in a Saccharomyces cerevisiae tryptophan auxotroph restricts yeast growth in the presence of low tryptophan concns. and that inhibition of IDO activity can restore growth. We use this assay to screen for IDO inhibitors in collections of pure chems. and crude natural exts. We identify NSC 401366 (imidodicarbonimidic diamide, N-methyl-N'-9-phenanthrenyl-, monohydrochloride) as a potent nonindolic IDO inhibitor (Ki = 1.5±0.2 μM) that is competitive with respect to tryptophan. We also use this assay to identify the active compound caulerpin from a crude algal extract The yeast growth restoration assay is simple and inexpensive. It combines desirable attributes of cell- and target-based screens and is an attractive tool for chemical biol. and drug screening.

IT 913527-07-8P, NSC 67091
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
(inhibitors of human indoleamine dioxygenase identified with target-based screen in yeast)

RN 913527-07-8 CA
CN 8-Quinolinol, 5,7-dibromo-2-[2-(2-hydroxy-1-naphthalenyl)ethenyl]- (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:88062 CA
TITLE: Novel Lavendamycin Analogues as Antitumor Agents: Synthesis, in Vitro Cytotoxicity, Structure-

Metabolism, and Computational Molecular Modeling Studies with NAD(P)H:Quinone Oxidoreductase 1

AUTHOR(S): Hassani, Mary; Cai, Wen; Holley, David C.; Lineswala, Jayana P.; Maharjan, Babu R.; Ebrahimian, G. Reza; Seradj, Hassan; Stocksdale, Mark G.; Mohammadi, Farahnaz; Marvin, Christopher C.; Gerdes, John M.; Beall, Howard D.; Behforouz, Mohammad

CORPORATE SOURCE: Department of Chemistry, Ball State University, Muncie, IN, 47306, USA

SOURCE: Journal of Medicinal Chemistry (2005), 48(24), 7733-7749
CODEN: JMCMAR; ISSN: 0022-2623

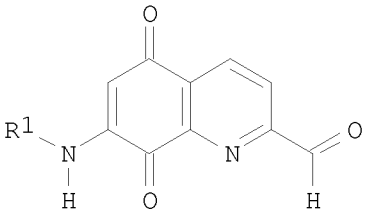
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

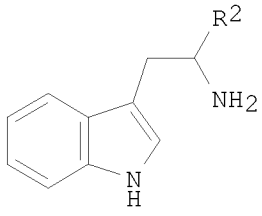
LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:88062

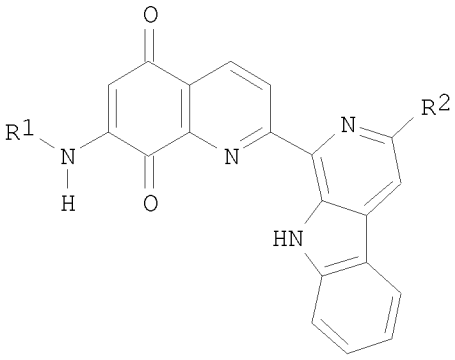
GI



I



II



III

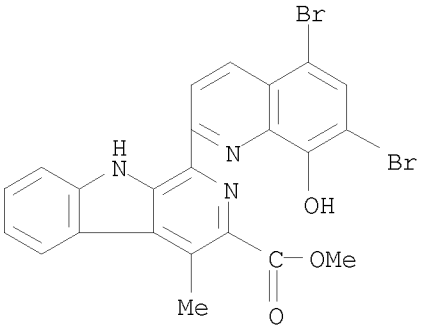
AB Novel lavendamycin analogs with various substituents were synthesized and evaluated as potential NAD(P)H:quinone oxidoreductase (NQO1)-directed antitumor agents. Pictet-Spengler condensation of quinoline- or quinoline-5,8-dione aldehydes, e.g. I (R1 = MeCO, ClCH2CO), with tryptamine or tryptophans, e.g. II (R2 = H, CO2Me, CO2-n-Bu, CH2OH, etc.), yielded the lavendamycins, e.g. III. Metabolism studies with recombinant human NQO1 revealed that addition of NH2 and CH2OH groups at the quinolinedione-7-position and indolopyridine-2'-position had the greatest pos. impact on substrate specificity. The best and poorest substrates were III (R1 = R3 = H, R2 = CH2OH) (IV) (2'-CH2OH-7-NH2 derivative) and III (R1 = CO-n-Pr, R2 = CONH2) (2'-CONH2-7-NHCOC3H7-n derivative) with reduction rates

of 263 ± 30 and 0.1 ± 0.1 μmol/min/mg NQO1, resp. Cytotoxicity toward human colon adenocarcinoma cells was determined for the lavendamycins. The best substrates for NQO1 were also the most selectively toxic to the NQO1-rich BE-NQ cells compared to NQO1-deficient BE-WT cells with IV as the most selective. Mol. docking supported a model in which the best substrates were capable of efficient hydrogen-bonding interactions with key residues of the active site along with hydride ion reception.

IT 96239-74-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of lavendamycin analogs, human antitumor/cytotoxicity, structure-metabolism, electrochem. reduction, and mol. modeling studies)

RN 96239-74-6 CA

CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 1-(5,7-dibromo-8-hydroxy-2-quinolinyl)-4-methyl-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 141:288543 CA

TITLE: Exploring binding mode for styrylquinoline HIV-1 integrase inhibitors using comparative molecular field analysis and docking studies

AUTHOR(S): Ma, Xiao-hui; Zhang, Xiao-yi; Tan, Jian-jun; Chen, Wei-zu; Wang, Cun-xin

CORPORATE SOURCE: College of Life Science and Bioengineering, Beijing University of Technology, Beijing, 100022, Peop. Rep. China

SOURCE: Acta Pharmacologica Sinica (2004), 25(7), 950-958
CODEN: APSCG5; ISSN: 1671-4083

PUBLISHER: Science Press

DOCUMENT TYPE: Journal

LANGUAGE: English

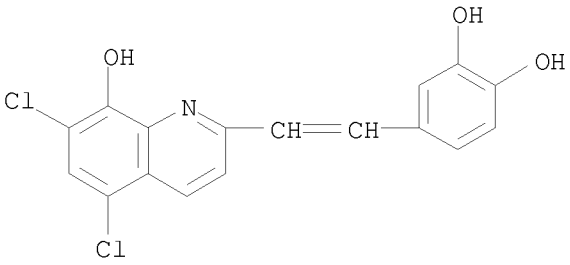
AB AIM: To understand pharmacophore properties of styrylquinoline derivs. and to design inhibitors of HIV-1 integrase. METHODS: Comparative mol. field anal. (CoMFA) was performed to analyze three-dimensional quant. structure-activity relation (3D-QSAR) of styrylquinoline derivs. Thirty-eight compds. were randomly divided into a training set of 28 compds. and a test set of 10 compds. The stability of 3D-QSAR models was proved by the anal. of cross-validated and non-cross-validated methods. Moreover, the binding mode of these compds. and integrase was constructed by AutoDock program. RESULTS: The CoMFA model of the training compds. was

reasonably predicted with cross-validated coefficient (q2) and conventional (r2) values (up to 0.696 and 0.754). Then the model was validated by the test set. The resulting CoMFA maps visualized structural requirements for the biol. activity of these inhibitors. Docking results showed that a carboxyl group at C-7 and a hydroxyl group at C-8 in the quinoline subunit, bound closely to the divalent metal cofactor (Mg2+) around the integrase catalytic site. Moreover, there is a linear correlation between the binding energy of the inhibitors with integrase and their inhibitory effect. CONCLUSIONS: The present study indicated that the CoMFA model together with docking results could give us helpful hints for drug design as well as interpretation of the binding affinity between these inhibitors and integrase.

IT 765304-59-4
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(binding mode for styrylquinoline HIV-1 integrase inhibitors using comparative mol. field anal. and docking studies)

RN 765304-59-4 CA

CN 1,2-Benzenediol, 4-[2-(5,7-dichloro-8-hydroxy-2-quinolinyl)ethenyl]- (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 140:128289 CA

TITLE: Preparation of 8-hydroxyquinolines for treatment of neurological conditions.

INVENTOR(S): Barnham, Kevin Jeffrey; Gautier, Elisabeth Colette Louise; Kok, Gaik Beng; Krippner, Guy

PATENT ASSIGNEE(S): Prana Biotechnology Limited, Australia

SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

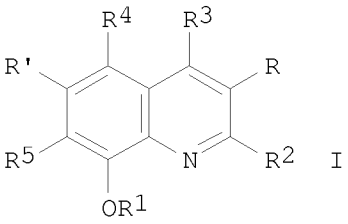
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007461	A1	20040122	WO 2003-AU914	20030716

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,

	TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2493536		A1	20040122	CA 2003-2493536	20030716
AU 2003243836		A1	20040202	AU 2003-243836	20030716
EP 1539700		A1	20050615	EP 2003-763516	20030716
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003012934		A	20050621	BR 2003-12934	20030716
CN 1681791		A	20051012	CN 2003-821942	20030716
JP 2006504646		T	20060209	JP 2004-520195	20030716
NZ 537677		A	20071026	NZ 2003-537677	20030716
MX 2005PA00708		A	20050816	MX 2005-PA708	20050114
IN 2005KN00166		A	20051104	IN 2005-KN166	20050210
US 20060089380		A1	20060427	US 2005-521902	20050810
IN 2006KO01346		A	20070720	IN 2006-KO1346	20061211
PRIORITY APPLN. INFO.:				AU 2002-950217	A 20020716
				WO 2003-AU914	W 20030716
				IN 2005-KN166	A3 20050210

OTHER SOURCE(S): MARPAT 140:128289

GI



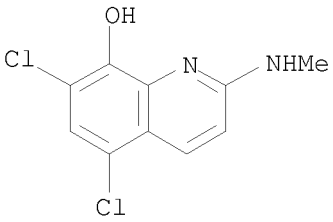
I

AB A method for the treatment of a neurol. condition comprises administration of title compds. [I; R1 = H, (substituted) alkyl, alkenyl, acyl, aryl, heterocyclyl, antioxidant or targeting moiety; R2 = H; (substituted) alkyl, alkenyl, aryl, heterocyclyl, alkoxy, antioxidant, targeting moiety, COR6, CSR6, etc.; R6 = H, (substituted) alkyl, alkenyl, aryl, heterocyclyl, etc.; R, R', R3, R4, R5 = H, OH, halo, SO3H, cyano, CF3, (substituted) alkyl, alkenyl, alkoxy, acyl, amino, thio, sulfonyl, sulfinyl, sulfonylamino, aryl, heterocyclyl, antioxidant or targeting moiety; with provisos]. Thus, 5,7-dichloro-8-hydroxyquinoline-2-carboxylic acid (preparation given), dicyclohexylcarbodiimide, 1-hydroxybenzotriazole hydrate, histamine dihydrochloride, and Et3N were stirred in DMF/CH2Cl2 to give 34% 5,7-dichloro-8-hydroxyquinoline-2-carboxylic acid [2-(1H-imidazol-4-yl)ethyl]amide (PBT 1038). This inhibited metal-mediated lipoprotein oxidation with IC50 = 0.26 μM.

IT 648896-67-7P, 5,7-Dichloro-2-methylamino-8-hydroxyquinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyquinolines for treatment of neurol. conditions)

RN 648896-67-7 CA

CN 8-Quinolinol, 5,7-dichloro-2-(methylamino)- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 135:61555 CA
TITLE: Preparation of lipopeptides as antibacterial agents
INVENTOR(S): Hill, Jason; Parr, Ian; Morytko, Michael; Siedlecki, Jim; Yu, Xiang Yang; Silverman, Jared; Keith, Dennis; Finn, John; Christensen, Dale; Lazarova, Tsvetelina; Watson, Alan D.; Zhang, Yan
PATENT ASSIGNEE(S): Cubist Pharmaceuticals, Inc., USA; et al.
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001044274	A1	20010621	WO 2000-US34205		20001215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2394350	A1	20010621	CA 2000-2394350		20001215
BR 2000016467	A	20020827	BR 2000-16467		20001215
EP 1246838	A1	20021009	EP 2000-991867		20001215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003517480	T	20030527	JP 2001-544763		20001215
US 20040067878	A1	20040408	US 2000-737908		20001215
IN 2000CA00688	A	20050311	IN 2000-CA688		20001215
AU 784812	B2	20060629	AU 2001-36357		20001215
NO 2002002887	A	20020812	NO 2002-2887		20020617
MX 2002PA06030	A	20040823	MX 2002-PA6030		20020617
ZA 2002005108	A	20031117	ZA 2002-5108		20020625
IN 2007KO00915	A	20071123	IN 2007-KO915		20070626
PRIORITY APPLN. INFO.:			US 1999-170946P	P	19991215
			US 2000-208222P	P	20000530
			IN 2000-CA688	A3	20001215

WO 2000-US34205 W 20001215

OTHER SOURCE(S): MARPAT 135:61555
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Lipopeptides I [R is -N(B)(X)n-A; B is X''RY, H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; RY is hydrido, alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or hydroxyl; X, X'' are C:O, C:S, C:NH, C:NRX, S:O or SO2; n is 0 or 1; RX is alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl, hydroxyl, alkoxy, carboxy or carboalkoxy; A is H, NH2, NHRA, NRARB, heteroaryl, cycloalkyl, heterocyclyl (RA, RB are alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, heterocyclyl or carboalkoxy) or when n is 0, then A is P(O)(OR50)OR51, P(O)R52R53, or P(O)(OR50)R53, where R50-R53 are alkyl; alternatively B and A may form a 5-7 membered heterocyclic or heteroaryl ring; R1 is defined similarly to R (with provisos); R2 is CH2CR17R18-ring, where R17 and R18 are hydrido, halo, hydroxyl, alkoxy, amino, thio, sulfinyl, sulfonyl, etc. or CR17R18 are CO, C(:S), oxime or hydrazone group] were prepared for use as antibacterials. Thus, treating daptomycin with 4-fluorobenzaldehyde and sodium triacetoxyborohydride in dry DMF for 24 h afforded I [R = NHCO(CH2)8Me, R1 = NHCH2C6H4F-4, R2 = CH2COC6H4NH2-o], which showed MIC (S. Aureus) ≤ 1 μg/mL.

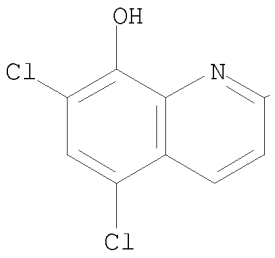
IT 345645-79-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of lipopeptides as antibacterial agents)

RN 345645-79-6 CA

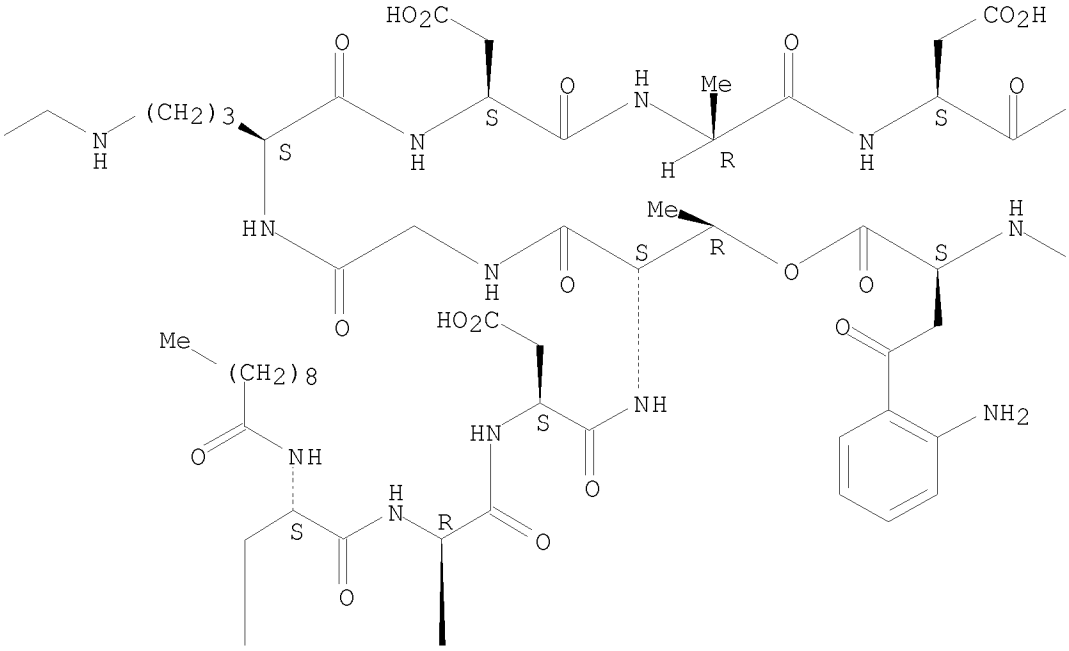
CN Daptomycin, 6-[N5-[(5,7-dichloro-8-hydroxy-2-quinolinyl)methyl]-L-ornithine]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

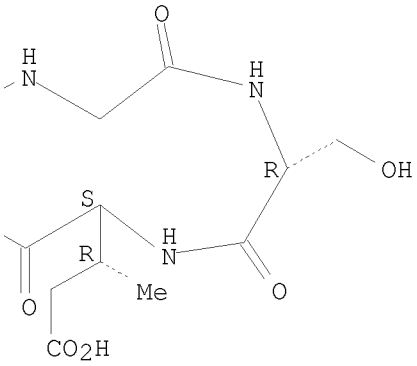
PAGE 1-A



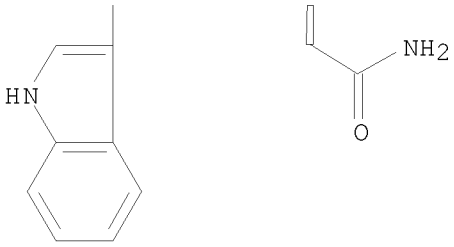
PAGE 1-B



PAGE 1-C

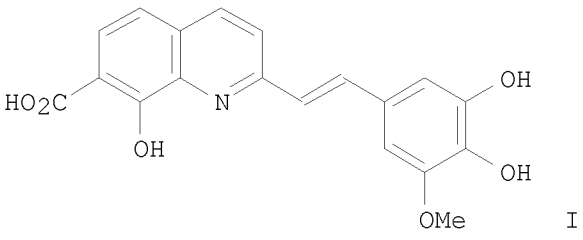


PAGE 2-B



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 132:321792 CA
TITLE: Structure-Activity Relationships and Binding Mode of Styrylquinolines as Potent Inhibitors of HIV-1 Integrase and Replication of HIV-1 in Cell Culture
AUTHOR(S): Zouhiri, Fatima; Mouscadet, Jean-Francois; Mekouar, Khalid; Desmaeele, Didier; Savoure, Delphine; Leh, Herve; Subra, Frederic; Le Bret, Marc; Auclair, Christian; d'Angelo, Jean
CORPORATE SOURCE: Unite de Chimie Organique UPRES-A du CNRS 8076 Centre d'Etudes Pharmaceutiques, Universite Paris-Sud, Chatenay-Malabry, 92296, Fr.
SOURCE: Journal of Medicinal Chemistry (2000), 43(8), 1533-1540
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

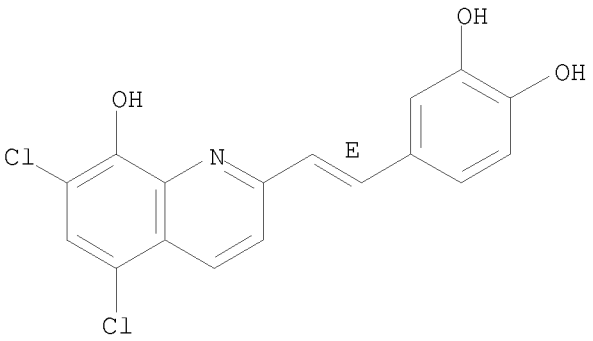
AB Our prior studies showed that polyhydroxylated styrylquinolines are potent HIV-1 integrase (IN) inhibitors that block the replication of HIV-1 in cell culture at nontoxic concns. To explore the mechanism of action of these inhibitors, various novel styrylquinoline derivs., e.g. I, were synthesized and tested against HIV-1 IN and in cell-based assays. Regarding the in vitro expts., the structural requirements for biol. activity are a carboxyl group at C-7, a hydroxyl group at C-8 in the quinoline subunit, and an ancillary Ph ring. However the in vitro inhibitory profile tolerates deep alterations of this ring, e.g. by the

introduction of various substituents or its replacement by heteroat. nuclei. Regarding the ex vivo assays, the structural requirements for activity are more stringent than for in vitro inhibition. Thus, in addition to an o-hydroxy acid group in the quinoline, the presence of one ortho pair of substituents at C-3' and C-4', particularly two hydroxyl groups, in the ancillary Ph ring is imperatively required for inhibitory potency. Starting from literature data and the SARs developed in this work, a putative binding mode of styrylquinoline inhibitors to HIV-1 IN was derived.

IT 266689-98-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn, structure-activity relationships and binding mode of styrylquinolines as anti-AIDS agents)

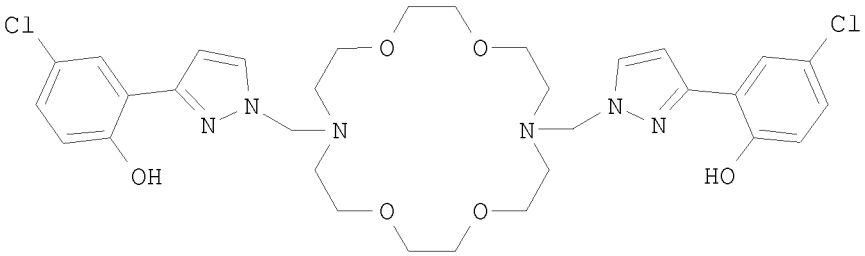
RN 266689-98-9 CA
CN 1,2-Benzenediol, 4-[(1E)-2-(5,7-dichloro-8-hydroxy-2-quinolinyl)ethenyl]- (CA INDEX NAME)

Double bond geometry as shown.

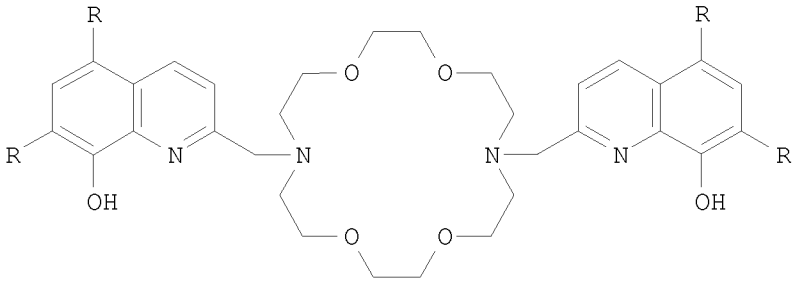


REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 132:93297 CA
TITLE: Syntheses and Metal Ion Complexation of Novel 8-Hydroxyquinoline-Containing Diaza-18-Crown-6 Ligands and Analogues
AUTHOR(S): Su, Ning; Bradshaw, Jerald S.; Zhang, Xian Xin; Song, Huacan; Savage, Paul B.; Xue, Guoping; Krakowiak, Krzysztof E.; Izatt, Reed M.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, Brigham Young University, Provo, UT, 84602, USA
SOURCE: Journal of Organic Chemistry (1999), 64(24), 8855-8861 CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:93297
GI



I



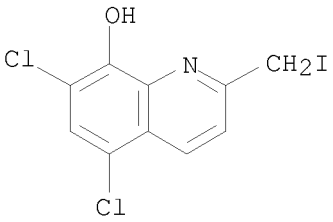
II

AB Ten new 8-hydroxyquinoline-containing diaza-18-crown-6 ligands and analogs were synthesized via a one-pot or stepwise Mannich reaction, reductive amination, or by reacting diaza-18-crown-6 with 5,7-dichloro-2-iodomethyl-8-quinolinol in the presence of N,N-diisopropylethylamine. The Mannich reaction of N,N'-bis(methoxymethyl)diaza-18-crown-6 with 4-chloro-2-(1H-pyrazol-3-yl)phenol gave the NCH2N-linked bis(3-(5-chloro-2-hydroxy)pyrazol-1-ylmethyl)-substituted diazacrown ether I in a 98% yield. The reaction of bis(N,N'-methoxymethyldiaza)-18-crown-6 with 2.2 equiv of 10-hydroxybenzoquinoline gave only the monosubstituted diazacrown ether ligand. Interaction of some of the ligands with various metal ions was evaluated by a calorimetric titration technique at 25 °C in MeOH. Bis(8-hydroxyquinoline-2-ylmethyl)-substituted ligand II (R = H) forms a very strong complex with Ba2+ (log K = 11.6 in MeOH) and is highly selective for Ba2+ over Na+, K+, Zn2+, and Cu2+ (selectivity factor > 106). The 1H NMR spectral studies of the Ba2+ complexes with bis(8-hydroxyquinoline-2-ylmethyl)- and bis(5,7-dichloro-8-hydroxyquinoline-2-ylmethyl)-substituted diaza-18-crown-6 ligands II (R = H, Cl) suggest that these complexes are cryptate-like structures with the two overlapping hydroxyquinoline rings forming a pseudo second macroring. UV-visible spectra of the metal ion complexes with selected ligands suggest that these ligands might be used as chromophoric or fluorophoric sensors.

IT 254900-34-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and metal ion complexation of (hydroxyquinolinylmethyl)- and (phenolpyrazolylmethyl)diaza-18-crown-6 ethers)

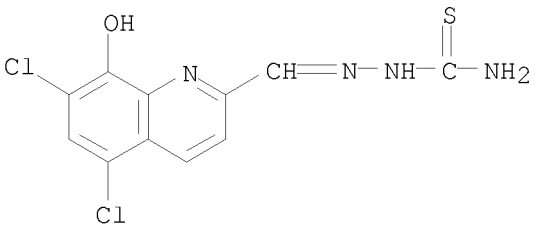
RN 254900-34-0 CA

CN 8-Quinolinol, 5,7-dichloro-2-(iodomethyl)- (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 126:144095 CA
TITLE: Synthesis and antileishmanial activity of some new substituted 2-quinoline carboxaldehyde thiosemicarbazones and their transition metal complexes
AUTHOR(S): Sarkis, George Y.; Rassam, Maysoon B.; Shimmon, Ronal G.
CORPORATE SOURCE: College Science, Al-Mustansiriyah University, Baghdad, Iraq
SOURCE: Dirasat: Natural and Engineering Sciences (1996), 23(3), 306-317
CODEN: DNESFZ
PUBLISHER: University of Jordan, Deanship of Research
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of substituted 2-quinolinecarboxaldehyde thiosemicarbazones and their transition metal complexes have been synthesized and their effect on the growth of Leishmania donovani promastigotes was determined These compds. were also evaluated as inhibitors of alkaline phosphatase extracted from the parasite and from hamster liver. It was found that 5-chloro-6,8-dimethoxy-2-quinolinecarboxaldehyde thiosemicarbazone was the most effective in this series and the concentration giving 50% enzyme inhibition was found to be 5.0 + 10-5 M after 24 h. Relative to their ligands, the metal complexes showed reduced antileishmanial activity.
IT 24010-09-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antileishmanial activity of quinolinecarboxaldehyde thiosemicarbazones and their transition metal complexes)
RN 24010-09-1 CA
CN Hydrazinecarbothioamide, 2-[(5,7-dichloro-8-hydroxy-2-quinolinyl)methylene]- (CA INDEX NAME)

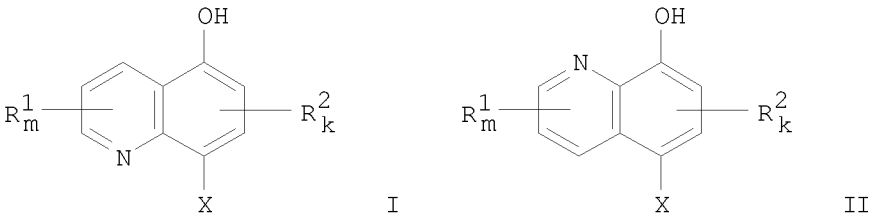


REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

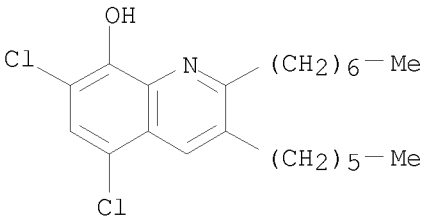
L7 ANSWER 17 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 123:156303 CA
TITLE: High-sensitivity silver halide color photographic material and image formation
INVENTOR(S): Ishii, Yoshio; Shimada, Yasuhiro
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 47 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07114158	A	19950502	JP 1993-283830	19931019

PRIORITY APPLN. INFO.: JP 1993-283830 19931019
GI



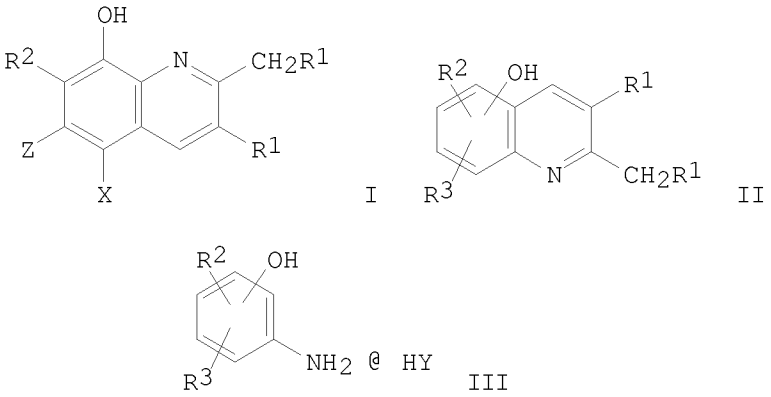
AB In the title full color photog. material, an aldehyde gas-scavenge is contained, and the sensitive layer closest to the support contains a cyan coupler I or II (R1, R2 = substitute; X = H, coupling releasable group; k = 0-2; m = 0-3).
IT 164983-36-2
RL: DEV (Device component use); USES (Uses)
(cyan coupler contained in photog. material)
RN 164983-36-2 CA
CN 8-Quinolinol, 5,7-dichloro-2-heptyl-3-hexyl- (CA INDEX NAME)



L7 ANSWER 18 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 121:166797 CA
TITLE: Cyan photographic coupler and color photographic material using same
INVENTOR(S): Lau, Philip T. S.; Thompson, Danny R.
PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

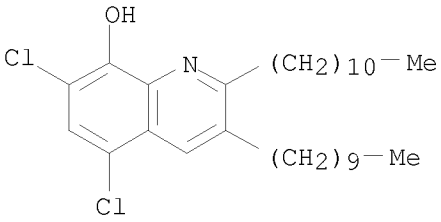
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 05257245	A	19931008	JP 1992-337026		19921217
US 5382502	A	19950117	US 1993-97315		19930723
PRIORITY APPLN. INFO.:			US 1991-809951	A	19911218

GI



AB The title cyan photog. coupler has structure I [R1 = C8-30 alkyl; R2 = H, other substituents; X= group releasable on reaction with oxidized aromatic primary amine developing agent; Z = non-nucleophilic substituent or group]. Also claimed is a full color photog. material using the above cyan coupler in its red-sensitive photog. emulsion layer. A hydroxyquinoline II is prepared by reaction of R1CH2CHO with III [R2,3 = H, other substituents; HY = strong acid].

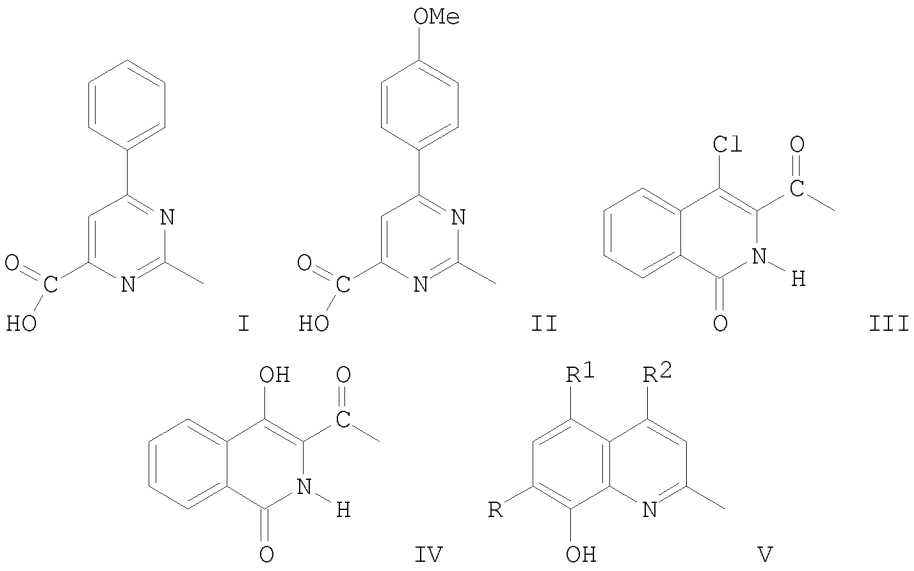
IT 156016-26-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and use of, as cyan photog. coupler)
RN 156016-26-1 CA
CN 8-Quinolinol, 5,7-dichloro-3-decyl-2-undecyl- (CA INDEX NAME)



L7 ANSWER 19 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 114:258778 CA
TITLE: Method for production of test paper using a hydrazine-derivative solution
INVENTOR(S): Ostrovskaya, V. M.; Lushina, O. T.; Lomakina, L. V.; Aksenova, M. S.; Krasavin, I. A.; Inshakova, V. A.; Mamaeva, E. K.; Mamaev, S. V.; Krivopalov, V. P.; Zagulyaeva, O. A.
PATENT ASSIGNEE(S): USSR
SOURCE: Ger. Offen., 5 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3902453	A1	19900802	DE 1989-3902453	19890127

PRIORITY APPLN. INFO.: DE 1989-3902453 19890127
OTHER SOURCE(S): MARPAT 114:258778
GI

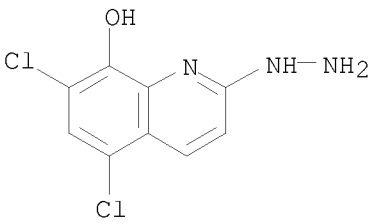


AB Test papers are produced in a method comprising treating a modified chromatog. test paper, based on aldehyde pulp, with a solution of a hydrazine derivative of the formula ANHNH2, where A = I, II, III, IV, or V, and R, R1 = H, Cl and R2 = H or Ph. This simplified production method generates test paper with higher selectivity and a lower detection limit for Fe2+ and Fe3+ ions.

IT 104926-84-3
RL: ANST (Analytical study)
(test paper containing, in iron detection)

RN 104926-84-3 CA

CN 2(1H)-Quinolinone, 5,7-dichloro-8-hydroxy-, hydrazone (9CI) (CA INDEX NAME)



L7 ANSWER 20 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 114:135267 CA

TITLE: Preparing reagent indicator paper, especially for detection of iron

INVENTOR(S): Ostrovskaya, V. M.; Lushina, O. T.; Lomakina, L. V.; Aksenova, M. S.; Krasavin, I. A.; Inshakova, V. A.; Mamaev, V. P.; Krivopalov, V. P.; Zagulyaeva, O. A.

PATENT ASSIGNEE(S): USSR

SOURCE: Brit. UK Pat. Appl., 13 pp.

CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2227314	A	19900725	GB 1988-30326	19881229

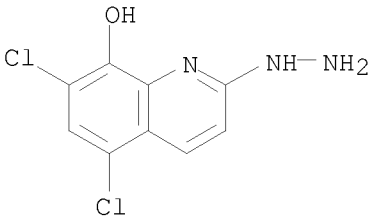
PRIORITY APPLN. INFO.: GB 1988-30326 19881229

AB A reagent indicator paper is prepared by treating a modified chromatog. paper based on aldehyde cellulose with a solution of an N-heterocyclic hydrazine derivative, washing and drying. The paper has high selectivity and a low limit of detection of Fe(II,III) .apprx.10-5%. A spent reaction solution of a hydrazine derivative can be used 3 times.

IT 104926-84-3
RL: ANST (Analytical study)
(indicator paper containing, for iron detection)

RN 104926-84-3 CA

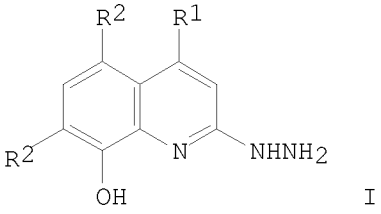
CN 2(1H)-Quinolinone, 5,7-dichloro-8-hydroxy-, hydrazone (9CI) (CA INDEX NAME)



L7 ANSWER 21 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 105:190973 CA
ORIGINAL REFERENCE NO.: 105:30819a,30822a
TITLE: 2-Hydrazino-8-hydroxyquinolines as intermediate reagents for the matrix synthesis of indicator papers
INVENTOR(S): Ostrovskaya, V. M.; Krasavin, I. A.; Inshakova, V. A.; Mamaev, V. P.; Krivopalov, V. P.
PATENT ASSIGNEE(S): USSR
SOURCE: U.S.S.R. From: Otkrytiya, Izobret. 1986, (9), 110.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1216184	A1	19860307	SU 1984-3810942	19840801

PRIORITY APPLN. INFO.: SU 1984-3810942 19840801
OTHER SOURCE(S): CASREACT 105:190973
GI



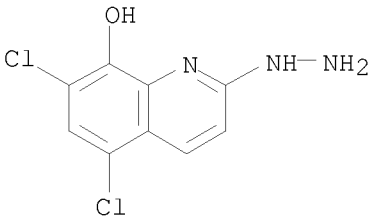
I

AB 2-Hydrazino-8-hydroxyquinolines I (R1 = H, R2 = Cl; R1 = Ph, R2 = H) are used as intermediate reagents for the matrix synthesis of reactive indicator papers.

IT 104926-84-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediate, for synthesis of indicator papers)

RN 104926-84-3 CA

CN 2(1H)-Quinolinone, 5,7-dichloro-8-hydroxy-, hydrazone (9CI) (CA INDEX NAME)



L7 ANSWER 22 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 105:126815 CA

ORIGINAL REFERENCE NO.: 105:20297a,20300a

TITLE: In vitro oxidation of the 8-hydroxyquinoline moiety with metabolic activation system to a mutagenic quinoloquinone compound of lavendamycin analogs

AUTHOR(S): Hibino, Satoshi; Okazaki, Miko; Ichikawa, Masataka; Sato, Kohichi; Motoshima, Aiichiro; Ueki, Hiroshi

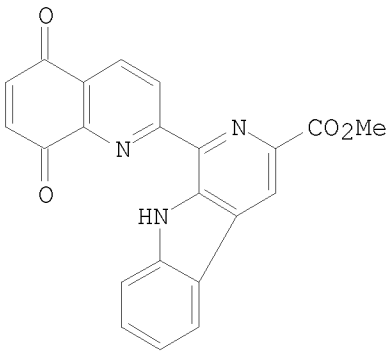
CORPORATE SOURCE: Fac. Pharm. Pharm. Sci., Fukuyama Univ., Hiroshima, 729-02, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1986), 34(3), 1376-9
CODEN: CPBTAL; ISSN: 0009-2363

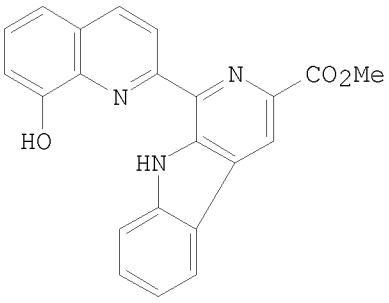
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



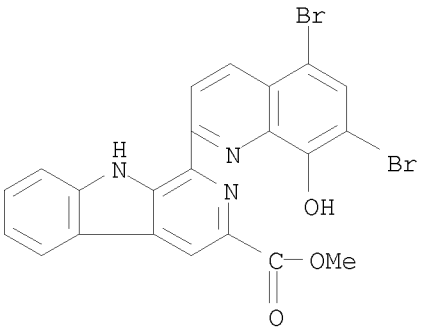
II

AB Intermediary products in the synthesis of lavendamycin were tested for mutagenic activities in Salmonella typhimurium TA 98 and TA 100 with and without a metabolic activation system. Lavendamycin analogs having a Me group at the 3' position showed significant mutagenicity to TA 100 after the metabolic activation using S9 mix prepared from rat liver homogenate. Oxidative products of the 8-hydroxyquinoline derivs. were mutagenic without the metabolic activation. Of these oxidative products, desaminodesmethyllavendamycin Me ester (I) [104145-44-0] was identified as a metabolic product obtained by the incubation of the 8-hydroxyquinoline derivative (I) [88238-76-0] with mouse liver homogenate.

IT 88238-77-1
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(mutagenicity of)

RN 88238-77-1 CA

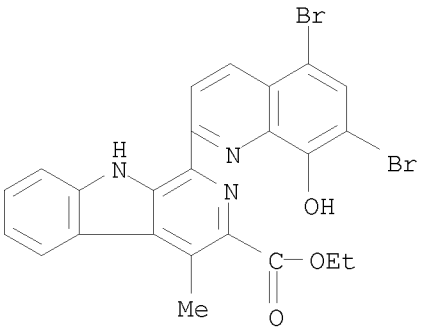
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 1-(5,7-dibromo-8-hydroxy-2-quinolinyl)-, methyl ester (CA INDEX NAME)



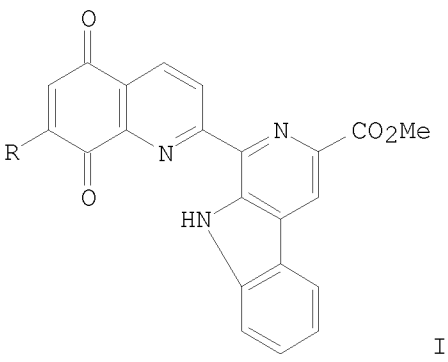
L7 ANSWER 23 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 102:184886 CA
ORIGINAL REFERENCE NO.: 102:28997a,29000a
TITLE: Formal synthesis of lavendamycin methyl ester: the regioselective synthesis to the bromoquinolinequinone systems of key intermediate
AUTHOR(S): Hibino, Satoshi; Okazaki, Miko; Ichikawa, Masataka; Sato, Kohichi; Ishizu, Takashi
CORPORATE SOURCE: Fac. Pharm. Pharm. Sci., Fukuyama Univ., Hiroshima, 729-02, Japan
SOURCE: Heterocycles (1985), 23(2), 261-4
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:184886
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

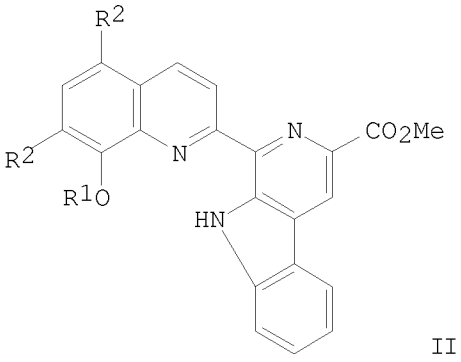
AB A formal synthesis of lavendamycin Me ester (I, R = Me, R1 = NH2) was achieved. The Pictet-Spengler reaction of 8-benzyloxyquinoline-2-aldehyde with β-methyltryptophan Et ester, gave the β-carboline II (R = Et, R2 = CH2Ph, R3 = H). Hydrogenolysis of the benzyl ether and bromination of II (R = Et, R2 = R3 = H) afforded II (R = Et, R2 = H, R3 = Br). Oxidation of the bromophenol by cerium ammonium nitrate proceeded regioselectively to the desired p-quinone system I (R = Et, R1 = Br). On the other hand, II (R = Et, R2 = R3 = H) was converted into its Me ester which led to I (R = Me, R1 = Br) regioselectively in the same way I (R = Me, R1 = Br), Kende's intermediate for I (R = Me, R1 = NH2).
IT 96239-73-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 96239-73-5 CA
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 1-(5,7-dibromo-8-hydroxy-2-quinolinyl)-4-methyl-, ethyl ester (CA INDEX NAME)



L7 ANSWER 24 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 100:22479 CA
ORIGINAL REFERENCE NO.: 100:3529a,3532a
TITLE: Synthetic approach to the antitumor antibiotic lavendamycin: a synthesis of demethyllavendamycin methyl ester
AUTHOR(S): Hibino, Satoshi; Okazaki, Miko; Morita, Itsuko; Ichikawa, Masataka
CORPORATE SOURCE: Fac. Pharm. Pharm. Sci., Fukuyama Univ., Fukuyama, 729-02, Japan
SOURCE: Heterocycles (1983), 20(10), 1957-8
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



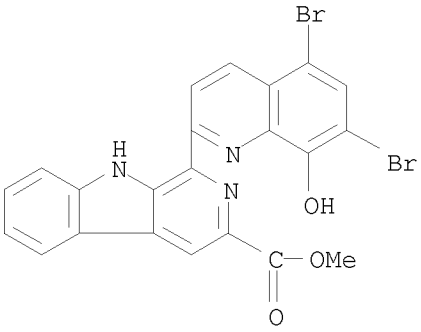
I



II

AB The lavendamycin derivative I (R = NH2) was prepared by condensing 8-benzoyloxy-2-formylquinoline with tryptophan Me ester and aromatization to give II (R1 = CH2Ph, R2 = H) which was hydrogenolyzed and brominated to give II (R1 = H, R2 = Br). Oxidation of II (R1 = H, R2 = Br) with ceric ammonium nitrate gave I (R = Br) which was treated with NaN3 and reduced to I (R = NH2).
IT 88238-77-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

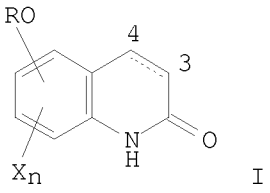
(Reactant or reagent)
(preparation and oxidation of)
RN 88238-77-1 CA
CN 9H-Pyrido[3,4-b]indole-3-carboxylic acid, 1-(5,7-dibromo-8-hydroxy-2-quinolinyl)-, methyl ester (CA INDEX NAME)



L7 ANSWER 25 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 92:128753 CA
ORIGINAL REFERENCE NO.: 92:20991a,20994a
TITLE: Carbostyril derivatives
INVENTOR(S): Sakano, Kazuo; Oshiro, Yasuo; Uchida, Minoru; Nakagawa, Kazuyuki
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54138571	A	19791027	JP 1978-44605	19780414
JP 61043347	B	19860926		

PRIORITY APPLN. INFO.: JP 1978-44605 A 19780414
GI



I

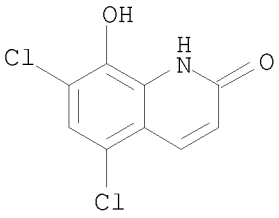
AB Carbostyril derivs. (I; R = H, alkyl, acyl, haloacyl; X = halo; n = 1-3; 3,4-stad. or unsatd.) were prepared by halogenation. Thus, 7 g Cl in HOAc was added to 16.4 g 5-hydroxy-3,4-dihydrocarbostyril in HOAc at room temperature

and the mixture stirred 3 h to give 13.5 g I (RO = 5-HO, Xn = 6-Cl, 3,4-saturated). Similarly prepared were 54 addnl. I.

IT 73098-36-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 73098-36-9 CA

CN 2(1H)-Quinolinone, 5,7-dichloro-8-hydroxy- (CA INDEX NAME)



L7 ANSWER 26 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 87:39245 CA

ORIGINAL REFERENCE NO.: 87:6183a,6186a

TITLE: Synthesis of possible antiamebic agents

AUTHOR(S): Mukhopadhyay, R.; Pathak, B.

CORPORATE SOURCE: Dep. Appl. Chem., Calcutta Univ., Calcutta, India

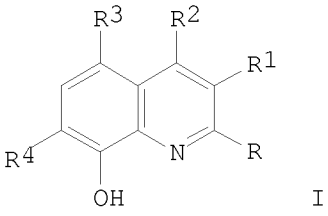
SOURCE: Journal of the Indian Chemical Society (1976), 53(10), 1038-40
CODEN: JICSAH; ISSN: 0019-4522

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 87:39245

GI



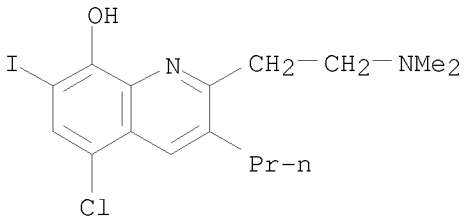
I

AB The halohydroxyquinolines I (R = Me, CH2CH2NMe2; R2 = Pr, Bu, H; R2 = H, Cl; R3 = H, Cl, iodo; R4 = H, iodo) were prepared by halogenation of 8-hydroxyquinolines with ICl3 and ICl. At 62.5 μg/ml I (R = CH2CH2NMe2, R1 = Pr, R2 = Cl, R3 = iodo, R4 = H) was antiamebic.

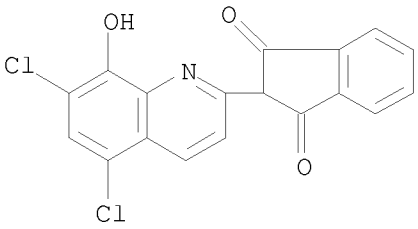
IT 63218-55-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antiamebic activity of)

RN 63218-55-3 CA

CN 8-Quinolinol, 5-chloro-2-[2-(dimethylamino)ethyl]-7-iodo-3-propyl- (CA INDEX NAME)



L7 ANSWER 27 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 78:124420 CA
ORIGINAL REFERENCE NO.: 78:19987a,19990a
TITLE: 8-Hydroxyquinophthalone derivatives
AUTHOR(S): Kacens, J.; Cebure, A.; Neilands, O.
CORPORATE SOURCE: Rizh. Politekh. Inst., Riga, USSR
SOURCE: Latvijas PSR Zinatnu Akademijas Vestis, Kimijas Serija (1973), (1), 100-5
CODEN: LZAKAM; ISSN: 0002-3248
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB 8-Acetoxyquinophthalone (I, R = Ac, X = H) (II) was prepared in 62% yield by reaction of 8-quinolinol oxide with 1,3-indandione in Ac2O. Analogously prepared was I (R = Ac, X = Cl) in 62% yield. Hydrolysis of the acetate gave the corresponding alcs. (I, R = H, (Cl). Treatment of II with SO2Cl2 gave indandione (III, X = H). Analogously III (X = C) was obtained.
IT 40619-43-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40619-43-0 CA
CN 1H-Indene-1,3(2H)-dione, 2-(5,7-dichloro-8-hydroxy-2-quinolinyl)- (CA INDEX NAME)



L7 ANSWER 28 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 77:164525 CA
ORIGINAL REFERENCE NO.: 77:27015a,27018a
TITLE: 5,7-Dichloro-8-hydroxy-2-(acetylamino)quinoline and related compounds
INVENTOR(S): Carissimi, Massimo; Ravenna, Franco
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3682927	A	19720808	US 1969-832590	19690612
PRIORITY APPLN. INFO.:			IT 1968-17755 A	19680615

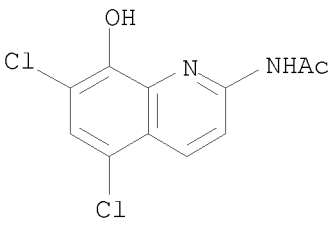
GI For diagram(s), see printed CA Issue.

AB 5,7-Dichloro-8-hydroxy-quinolines (I, R = NH2, AcNH, CO2H, ClCH2 (II), piperidino-methyl (III), Me2NHCH2, morpholinomethyl, 4-methylpiper-azino, R1 = H, PhCH2) were prepared from 5,7-dichloro-8-(benzyl-oxy)-2-quinolinecarboxaldehyde (IV). Thus, 5,7-dichloro-8-(benzyloxy)quinaldine was treated with SeO2 to give IV, which was treated with NaBH4 and the product reacted with PCl5 to give II. II and piperidine in EtOAc gave III.

IT 22275-37-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 22275-37-2 CA

CN Acetamide, N-(5,7-dichloro-8-hydroxy-2-quinolinyl)- (CA INDEX NAME)



L7 ANSWER 29 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	71:124175 CA
ORIGINAL REFERENCE NO.:	71:23063a,23066a
TITLE:	5,7-Dichloro-8-hydroxyquinolines with antibacterial and antifungal activities
AUTHOR(S):	Carissimi, M.; De Meglio, P. G.; Ravenna, F.; Riva, G.
CORPORATE SOURCE:	Lab. Ric., "Maggioni y C." S.p.A., Milan, Italy
SOURCE:	Farmaco, Edizione Scientifica (1969), 24(5), 478-99 CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE:	Journal
LANGUAGE:	Italian

GI For diagram(s), see printed CA Issue.

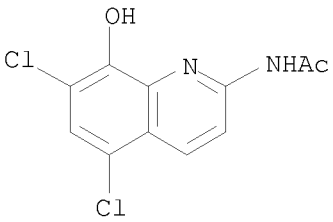
AB Chlorquinaldol (I) is converted to II and III. Various II and III, where R1 is H or Ac, were tested in vitro for bacteriostatic and fungistatic activity. In a series of different types of reactions, I was converted to the following II (R1 = PhCH2) (R and m.p. given): Me, 62-3°; CHO, 124-5°; CH:CHCO2H, 221-3°; CO2H, 148-9°; COCl, 132-3°; (2-morpholinoethoxy)carbonyl, 192-3°; CO2CH2CH2NEt2, 192-3°; CON3, 125-7°; NHCO2Et, 88-91°; NH2, 188-9° (HCl salt m. 158-60°); NHAc, 142-3°; NHCOEt, 139-40°; CH2OH, 109-10°; CO2Et, 119-20°; CH2O2CNHMe, 139-40°; CH2Cl, 93-4°; CH2NH2, 230-40° (decomposition); CONH2, 196-7°; CH:NOH, 182-3°. Also prepared were the following II (R, R1, and m.p. given): CH:CHCO2H, H, 270°; 2-(2-morpholinoethoxycarbonyl)vinyl, H, 245-6°; CO2-CH2CH2NEt, H, 235-6°; CHO, H, 211°; CH:NNH2, H, 198-9°; CH:NNHCONH2, H, 300°; CH:NNHCSNH2, H, 265°; CO2H, H,

265°; CO2H, CH2CH2NEt2, 202-3°; (2-morpholinoethoxy)carbonyl, H, 225-6°; CO2CH2CH2NEt2, H, 220-1°; NH2, H, 234-5° (HCl salt m. 300-3°); NH2, CH2CH2NEt2, 205°; NHCOEt, H, 208-9°; NHAc, Ac, 209-10°; CH2OH, H, 164-5°; CH2O2CNHMe, H, 156-7°; CH2Cl, H, 154-5°; CH2NH2, H, - (HCl salt m. 304-5°). Also (m.p. given): II (R = CH2Cl, R1 = PhCH2)-hexamethylenetetramine adduct, 205-6°; 5,7-dichloro-8-hydroxy-2-(acetamido)quinoline (IV), 223-4°. II (R = CH2Cl, R1 = PhCH2) is treated with amines to give 5,7-dichloro - 8 - benzyloxy - 2 - (morpholinomethyl)quinoline - HCl (m. 165-6°) and the following III (n = 1) (R, R1, m.p. HCl salt, and m.p. di-HCl salt given): piperidino, H, 271-3°, -; 4-methyl-1-piperazinyl, PhCH2, -, 222-3°; 4-methyl-1-piperazinyl, H, -, 283-4°; morpholino, PhCH2, 184-5°, -; morpholino, H, 266-8°, -; NEt2, PhCH2, 150-1°, -; NEt2, H, 235-7°, - (methiodide m. 192-3°). I is treated with H2CO and secondary amines to give the following III (n = 2, R1 = H) (R, m.p., and m.p. salt given): piperidino, 123-4°, -; 4-methyl-1-piperazinyl, -, 2HCl 233-5°; morpholino, 151-2°, -; NMe2, - (HCl salt m. 223-4°); NEt2, - (HCl salt m. 190-90.5°). Also prepared (from some of the above compds.) are the following III (R, R1, and m.p. given): COCHN2, PhCH2, 139°; COCH2Br, PhCH2, 157°; COCH2Cl, H, 242-3°; 2-(5-nitro-2-furyl)vinyl, PhCH2, 152-3°; 2-(5-nitro-2-furyl)vinyl, H, 271°. The fungistatic activity of IV is similar to that of I but IV shows broader bacteriostatic activity than I.

IT 22275-37-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 22275-37-2 CA

CN Acetamide, N-(5,7-dichloro-8-hydroxy-2-quinolinyl)- (CA INDEX NAME)

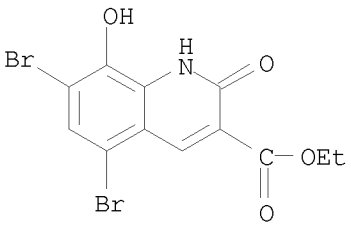


L7 ANSWER 30 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 61:32351 CA
ORIGINAL REFERENCE NO.: 61:5618a-c
TITLE: 8-Hydroxyquinoline derivatives
INVENTOR(S): Sunagawa, Genshun; Soma, Nobuo
PATENT ASSIGNEE(S): Sankyo Co., Ltd.
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 39003843 B4 19640408 JP 19611005
PRIORITY APPLN. INFO.: JP 19611005
AB A mixture of 1.2 g. benzyl cyanide, 1.0 g. 2-amino-3-bromotropone, and 0.39 g. K in 15 cc. tert-BuOH is heated at 100° 4 hrs. and poured into H2O, the mixture adjusted to pH 5.0 with HCl, and the precipitated mass recrystd.
from EtOH to give 0.7 g. 2-amino-3-phenyl-8-hydroxyquinoline, yellow needles, m. 147-8°. Similarly prepared are: 3-ethoxycarbonyl-2,8-dihydroxyquinoline, m. 213-14° (H2O); 2-amino3-cyano-8-hydroxyquinoline, m. 202-3° (dilute MeOH); 6-isopropyl-3-ethoxycarbonyl-2,8-dihydroxyquinoline, m. 185-6° (dilute EtOH); 7-isopropyl-5-bromo-3-ethoxycarbonyl-2,8-dihydroxyquinoline, m. 217-18°; 5,7-dibromo-3-ethoxycarbonyl-2,8-dihydroxyquinoline, m. 224-5° (EtOH); 7-bromo-3-ethoxycarbonyl2,8-dihydroxyquinoline, m. 237-9° (EtOH); and 7-isopyropyl3-cyano-5-bromo-8-hydroxyquinoline, m. 182-3° (C6H6).
IT 92025-59-7P, 3-Quinolinecarboxylic acid, 5,7-dibromo-2,8-dihydroxy-, ethyl ester
RL: PREP (Preparation)
(preparation of)
RN 92025-59-7 CA
CN 3-Quinolinecarboxylic acid, 5,7-dibromo-2,8-dihydroxy-, ethyl ester (7CI) (CA INDEX NAME)



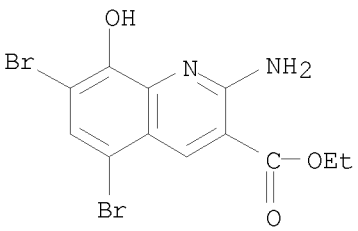
L7 ANSWER 31 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 60:94340 CA
ORIGINAL REFERENCE NO.: 60:11979c-g
TITLE: Seven-membered ring compounds. XII. Condensation of substituted 2-amino-3-bromotropones with active methylene compounds
AUTHOR(S): Sato, Yasunobu
CORPORATE SOURCE: Sankyo Res. Lab., Tokyo
SOURCE: Sankyo Kenkyusho Nempo (1963), 15, 51-64
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB To a solution of 17.5 g. 2-amino-7-isopropyltropone in 200 mL. CHCl3 was added a solution of 35.5 g. Br in 40 mL. CHCl3, the mixture refluxed 3 h., washed with Na2CO3 solution and H2O, evaporated, and the residue in C6H6 chromatographed on Al2O3 to give 28.5 g. 2-amino-3,5-dibromo-7-isopropyltropone (I), yellow, m. 68-70° (petr. ether). Similar bromination of 1 g. 2-amino-7-methyltropone with 1.2 g. Br in CHCl3 gave 1.1 g. 2-amino-5-bromo-7-methyltropone [yellow, m. 143-4° (EtOH)] and 300 mg. 2-amino-3,5-dibromo-7-methyltropone (II) [pale yellow, m. 170-1° (EtOH)]. An ethereal solution of CH2N2 (from 30 g. p-tolylsulfonylmethylnitrosamide and 8 g. KOH) was added to a solution of

21.1 g. 7-bromohinokitiol in 50 mL. Et2O, the mixture kept overnight, concentrated, chromatographed on Al2O3, the column eluted with Et2O, the eluate concentrated, the residual oil dissolved in 100 mL. EtOH, and the solution saturated with NH3 and kept 40 h. to give 4.7 g. 2-amino-3-bromo-6-isopropyltropone (III) (pale yellow, m. 55°) and 6.2 g. 2-amino-7-bromo-4-isopropyltropone [yellow, m. 189-91° (C6H6)]. A mixture of 3.2 g. I, 3.2 g. Et malonate, and EtONa (prepared from 460 mg. Na and 10 mL. EtOH) was refluxed 2 h., and the separated orange red mass poured into H2O and acidified (pH 1.5) to give 3.0 g. Et 5-bromo-7-isopropyl-2,8-dihydroxyquinoline-3-carboxylate, pale yellow, m. 217-18°. Similar treatment of II, III, 2-amino-3,7-dibromotropone, and 2-amino-3,5,7-tribromotropone with Et malonate gave Et 5-bromo-2,8-dihydroxy-7-methylquinoline-3-carboxylate [yellow, m. 219-20° (decomposition) (Me2CO)], Et 2,8-dihydroxy-6-isopropylquinoline-3-carboxylate [yellow, m. 185-6° (EtOH)], Et 7-bromo-2,8-dihydroxyquinoline-3-carboxylate [pale yellow needles, m. 237-9° (EtOH)], and Et 5,7-dibromo-2,8-dihydroxyquinoline-3-carboxylate [m. 224-5° (decomposition) (EtOH)], resp. Also were prepared 2-amino-5-bromo-3-cyano-8-hydroxy-7-isopropylquinoline [yellow, m. 182-3° (EtOH)], 3-cyano-6-isopropyl-1,3-dihydrocyclohepta [b] pyrrole-2,8-dione [yellow, m. <300° (dilute EtOH)], Et 2-amino-5,7-dibromo-8-hydroxyquinoline-3-carboxylate [reddish brown, m. 240° (decomposition) (CHCl3)], 3-cyano-5,7-dibromo-2,8-dihydroxyquinoline [yellow, m. 222-3° (dilute Me2CO and EtOH)], 3-acetyl-5,7-dibromo-2,8-dihydroxyquinoline (yellow, darkens at 245°), and Et 5,7-dibromo-8-hydroxyquinaldine-3-carboxylate [m. 151-2° (dilute EtOH)].

IT 91394-91-1P, 3-Quinolinecarboxylic acid, 2-amino-5,7-dibromo-8-hydroxy-, ethyl ester
RL: PREP (Preparation)
(preparation of)

RN 91394-91-1 CA

CN 3-Quinolinecarboxylic acid, 2-amino-5,7-dibromo-8-hydroxy-, ethyl ester (CA INDEX NAME)



L7 ANSWER 32 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 60:68130 CA
ORIGINAL REFERENCE NO.: 60:11979a-c
TITLE: Seven-membered ring compounds. IX. 7-Hydroxycyclohepta[b]pyrrol-8(1H)-one derivatives
AUTHOR(S): Sato, Yasunobu
CORPORATE SOURCE: Sankyo Res. Lab., Tokyo
SOURCE: Sankyo Kenkyusho Nempo (1963), 15, 47-50
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

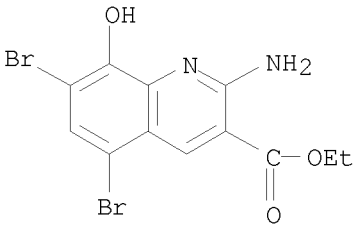
AB cf. CA 58, 6773h; 60, 6782e. A mixture of 4.8 g. 2-hydrazino-7-

phenoxytropone, 1.3 g. propionaldehyde, 300 mL. EtOH, and 40 mL. dioxane was refluxed 3 h. to give 4.3 g. propionaldehyde 7-phenoxy-2-tropolylhydrazone (I), yellow, m. 128-9° (EtOH). I (1 g.) was heated in a mixture of 2 mL. concentrated H_2SO_4 and 37 mL. H_2O 3 h. at 125°, cooled, adjusted to pH 4 with 10% NaOH, and extracted with $CHCl_3$ to give 90 mg. 3-methyl-7-phenoxycyclohepta[b]pyrrol-8(1H)-one (II), pale yellow, m. 215-16° (MeOH). Refluxing 500 mg. II in 5 mL. 48% HBr 10 h. failed to give 7-hydroxy-3-methylcyclohepta[b]pyrrol-8(1H)-one (III). A mixture of 3.5 g. 2,7-dimethoxytropone and 1.2 mL. 80% $N_2H_4.H_2O$ was refluxed 30 min. in 10 mL. EtOH, concentrated in vacuo, and the residue in 10 mL. EtOH refluxed 3 h. with 1.1 g. EtCHO and kept overnight to give 1.4 g. propionaldehyde 7-methoxy-2-troponylhydrazone (IV), yellow, m. 171-2° (MeOH). IV (747 mg.) was boiled in a mixture of 1 mL. concentrated H_2SO_4 and 18 mL. H_2O 3 h. at 125-30°, adjusted to pH 7, and kept overnight to give 130 mg. 3-methyl-7-methoxycyclohepta[b]pyrrol-8(1H)-one (V), yellow, m. 162-3° (C_6H_6). V (500 mg.) was refluxed in 5 mL. 48% HBr 4.5 h. and the mixture adjusted to pH 3 to give 450 mg. III, pale yellow, m. 227-8° (EtOH).

IT 91394-91-1P, 3-Quinolinecarboxylic acid, 2-amino-5,7-dibromo-8-hydroxy-, ethyl ester
RL: PREP (Preparation)
(preparation of)

RN 91394-91-1 CA

CN 3-Quinolinecarboxylic acid, 2-amino-5,7-dibromo-8-hydroxy-, ethyl ester (CA INDEX NAME)



L7 ANSWER 33 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 58:20640 CA
ORIGINAL REFERENCE NO.: 58:3390b-e
TITLE: Reinvestigation of 8-quinolinol N-oxide and its derivatives
AUTHOR(S): Murase, Ichiro; Demura, Yoichi
CORPORATE SOURCE: Univ. Kyushu, Fukuoka, Japan
SOURCE: Memoirs of the Faculty of Science, Kyushu University, Series C: Chemistry (1961), Ser. C 4(No. 3), 175-81
CODEN: MFKCAL; ISSN: 0085-2635
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

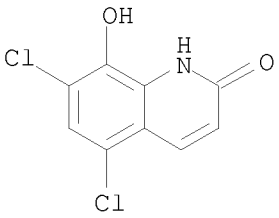
AB cf. CA 49, 10786d. 8-Quinolinol N-oxide (I), m. 138°, was prepared in 40% yield by refluxing 3 hrs. a solution of 25 g. 8-quinolinol (II) in 35 ml. HOAc, 75 ml. H_2O, and 40 g. 30% H_2O_2. Alteration of the reaction conditions leads to lower yields of I together with extensive recovery of unchanged II and (or) oxidative decomposition of II to nicotinic acid N-oxide (III). Thus, III, m. 255° (decomposition), was obtained in 30% yield by heating 5 g. II with 30% H_2O_2 in 30 ml. HOAc 8 hrs. at 80°. To a solution of 5 g. II in 7 ml. HOAc and 15 ml. H_2O was added 8 g. 30% H_2O_2 and

this heated 5 hrs. at 80° at which time 45 g. 30% H2O2 and 15 ml. concentrated HCl were added and the mixture further heated until violent evolution of gas occurred with the deposition of yellow needles. Recrystn. from C6H6 gave 2 g. 5,7-dichloro-8-quinolinol N-oxide (IV), m. 206-7°. Chelates of IV with Cu(II), Ni(II) and Co(II) were studied. Only in the case of Cu(II) could a solid product be obtained and this did not analyze correctly for either a 1:1 or 1:2 metal-ligand structure. Spectroscopic evidence suggested the presence of metal chelates in solution and the metal-ligand compns. of these chelates in EtOH were determined to be 1:2 for Ni(II) and Co(II) and 1:1 for Cu(II) by a Job's continuous variation method. The structure of IV was proven by its rearrangement to 5,7-dichloro-8-acetoxycarbostyril (V), m. 283° (dilute HOAc), by boiling with Ac2O followed by alkaline hydrolysis of V to the known 5,7-dichloro-2,8-dihydroxyquinoline, m. 277° (EtOH). IV (0.2 g.) was reduced to 5,7-dichloro 8-quinolinol (VI) by heating on a water bath with 0.5 g. Zn in 50 ml. HOAc 1 hr. The Zn chelate thus obtained was converted to free VI by boiling 1 hr. with Na2 EDTA solution

IT 73098-36-9P, 2,8-Quinolinediol, 5,7-dichloro-
RL: PREP (Preparation)
(preparation of)

RN 73098-36-9 CA

CN 2(1H)-Quinolinone, 5,7-dichloro-8-hydroxy- (CA INDEX NAME)



L7 ANSWER 34 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 57:32693 CA

ORIGINAL REFERENCE NO.: 57:6543b-e

TITLE: Derivatives of 8-hydroxy-2-quinolineacrylic acid. II

AUTHOR(S): Vaidya, Madhukar G.; Cannon, Joseph G.

CORPORATE SOURCE: Univ. of Wisconsin, Madison

SOURCE: Journal of Medicinal & Pharmaceutical Chemistry (1962), 5, 389-97
CODEN: JMPCAS; ISSN: 0095-9065

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 57:32693

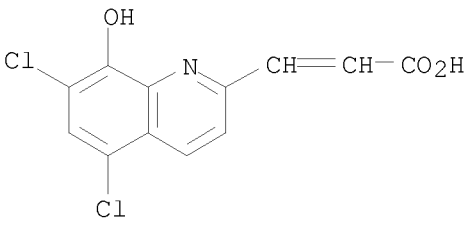
AB cf. CA 53, 20062d. Methods of preparation of halogenated derivs. of 2-quinolineacrylic acids (I) with a hydroxyl or alkyl group in the 8-position were given. Antibacterial properties were evaluated by a serial dilution procedure. 8-Alkoxyquinaldines, their chloral condensation products, and all ethyl esters of I were inactive at 500 γ/ml. All I and the parent 8-hydroxy compound had a low order of activity against Staphylococcus aureus. This suggested that the antibacterial moiety involved was the I and substituents on the benzene ring of the quinoline nucleus produced no change in activity. The agar-cup plate method was used in antifungal screening. Ethyl esters had pronounced activity against Trichophyton mentagrophytes as compared to the free acids.

Antifungal potency increased with an increase in halogen content. Alkyl ethers of 8-hydroxyquinaldines were inactive but all chloral condensation products exhibited some activity against T. mentagrophytes. The in vitro amebicidal (Entamoeba histolytica) potency was evaluated with emetine as a standard. 8-Hydroxy- and 8-ethoxy-I had amebicidal activity comparable to emetine; 5-chloroiodo-8-methoxy-I was less potent.

IT 24010-03-5P, 2-Quinolineacrylic acid, 5,7-dichloro-8-hydroxy-
RL: PREP (Preparation)
(preparation of)

RN 24010-03-5 CA

CN 2-Quinolineacrylic acid, 5,7-dichloro-8-hydroxy- (7CI, 8CI) (CA INDEX NAME)



L7 ANSWER 35 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 51:82856 CA

ORIGINAL REFERENCE NO.: 51:15005a-g

TITLE: Antiamebic action of 5-chloro-7-diethylaminomethyl-8-quinolinol and of other substituted 8-quinolinols in vitro and in experimental animals

AUTHOR(S): Thompson, Paul E.; Reinertson, J. W.; Bayles, Anita; McCarthy, D. A.; Elslager, Edward F.

CORPORATE SOURCE: Parke, Davis & Co., Detroit, MI

SOURCE: American Journal of Tropical Medicine and Hygiene (1955), 4, 224-48
CODEN: AJTHAB; ISSN: 0002-9637

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

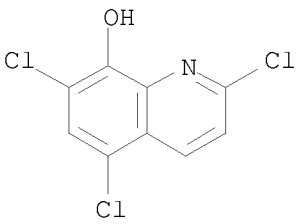
AB Ninety-eight substituted 8-quinolinols were tested in vitro against Endamoeba histolytica; 63 also were tested against intestinal amebiasis in rats, 14 against intestinal amebiasis in dogs, and 4 against hepatic amebiasis in hamsters. Activity was associated with a wide variety of substituents, especially in the 5-, 6-, or 7-position of the 8-quinolinol nucleus. Many active compds. did not contain iodine, suggesting that, contrary to existing theories, iodine is not essential for antiamebic action. Although the presence of mucin decreased the in vitro activity of most of the compds., many were still active in vivo. 5-Chloro-7-diethylaminomethyl-8-quinolinol (I) was one of the most promising compds. I was amebicidal at concns. of 6 and 56 γ/ml. in protein-deficient and mucin media, resp. A coliform bacillus grew well in concns. up to 20 γ/ml., while an organism of the Streptococcus faecalis type was inhibited at a concentration of 2.5 γ/ml. I was amebicidal at 90 γ/ml. in tests with bacteria-free E. histolytica-Trypanosoma cruzi cultures. Oral doses in the diet of 62-119 mg./kg./ day were about 50% effective in cures obtained or against intestinal amebiasis in rats; doses of 218 or 506 mg./kg. were completely effective; only the highest dose was not tolerated. Oral doses of 6.25-50 mg./kg./day for 10 days effected

cures in 5 of 10 dogs with severe amebic dysentery. Amebic hepatitis in hamsters was reduced 45% by subcutaneous administration of 50 mg./kg./day for 4 days; 1 of 9 animals died. Oral doses of 100 and 200 mg./kg./day afforded little or no suppression and were toxic. When given orally to hamsters in doses of 200 mg./kg./day for 4 days, or subcutaneously in doses of 50 mg./kg./day for 4 days, there was no significant concentration of I in the liver 18 hrs. after the last dose. Following oral administration of 25 mg./ kg. of I to a dog, blood samples were taken at 1, 2, and 4 hrs., urine at 2 hrs., and colonic asparates at 1, 2, 4, and 8 hrs. I was not detected in the blood, urine, or the first 3 colonic aspirates. The 8-hr. aspirate contained 262 γ/ml. of I-equivalent. The oral L.D.50 dose was 244 mg./kg. of body weight for mice and 169 mg./kg. body weight for rats. Chronic oral tolerance in mice was determined by feeding various concns. of I for 4 weeks. The min. tolerated dose for 4 weeks was estimated to be 684 mg./kg./day. One dog each was given daily oral doses of 7.8, 15.7, and 31.4 mg./kg. 5-day week for 6 weeks; there were no toxic reactions, no significant variations in blood or urine, and only a slight weight loss. One dog given 62.7 mg./kg. daily vomited frequently, developed anorexia and diarrhea, and died after 11 days. Histopathology in dogs consisted of mild to moderate kidney and liver damage, roughly paralleling the dose. At the highest dose there was evidence of gastric irritation.

IT 101870-58-0, 8-Quinolinol, 2,5,7-trichloro-
(amebicidal action of)

RN 101870-58-0 CA

CN 8-Quinolinol, 2,5,7-trichloro- (CA INDEX NAME)



L7 ANSWER 36 OF 37 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 51:82855 CA

ORIGINAL REFERENCE NO.: 51:15004i,15005a

TITLE: The treatment of malaria with hydroxychloroquine

AUTHOR(S): Hoekenga, Mark T.

CORPORATE SOURCE: United Fruit Co., Hosp., La Lima, Honduras

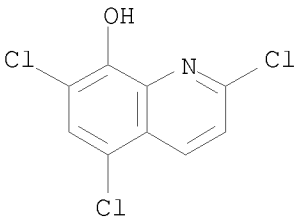
SOURCE: American Journal of Tropical Medicine and Hygiene (1955), 4, 221-3
CODEN: AJTHAB; ISSN: 0002-9637

DOCUMENT TYPE: Journal

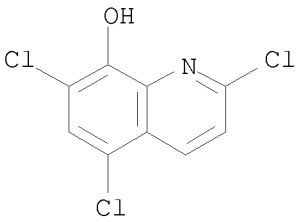
LANGUAGE: Unavailable

AB cf. ibid. 3, 833-8(1954). Results are described of the treatment of 213 Honduran patients for acute malaria with hydroxychloroquine (7-chloro-4-[4(N-ethyl-N-β-hydroxyethylamino)-1-methylbutylamino]quinoline diphosphate) (Plaquenil). Oral doses were 0.75 or 1.25 g.; intravenous and intramuscular doses were 0.36 g. Both immediate and late results compared favorably, except at the lower oral dose, with those obtained with other 4-aminoquinolines commonly used. Toxic effects, as evidenced by significant changes in blood hemoglobin, blood red and white cell counts, urinalyses, serum bilirubin concns.,

bromsulphalein clearances, and cephalin-cholesterol flocculation tests were absent.
IT 101870-58-0
(Derived from data in the 6th Collective Formula Index (1957-1961))
RN 101870-58-0 CA
CN 8-Quinolinol, 2,5,7-trichloro- (CA INDEX NAME)



L7 ANSWER 37 OF 37 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 51:82854 CA
ORIGINAL REFERENCE NO.: 51:15004g-i
TITLE: Toxicity studies of pyrimethamine (daraprim)
AUTHOR(S): Dern, Raymond J.; Beutler, Ernest; Arnold, John; Lorinz, Allan; Block, Matthew; Alving, Alf S.
CORPORATE SOURCE: Univ. of Chicago
SOURCE: American Journal of Tropical Medicine (1955), 4, 217-20
CODEN: AJTMAQ; ISSN: 0096-6746
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. Myatt, et al., ibid. 2, 1000-1 (1953). The administration of pyrimethamine (2,4-diamino-5-(p-chlorophenyl)-6-ethylpyrimidine) (Daraprim) to 81 men in doses of 25 mg. weekly for 6 months failed to produce toxic effects as indicated by the absence of significant changes in blood hemoglobin, white count, urine, renal function, weight changes and bone marrow. In 133 male malarial patients given the drug on various schedules as malaria prophylaxis or therapy, no toxic effects unequivocably attributable to the compound were observed.
IT 101870-58-0
(Derived from data in the 6th Collective Formula Index (1957-1961))
RN 101870-58-0 CA
CN 8-Quinolinol, 2,5,7-trichloro- (CA INDEX NAME)



=> d his

```
     (FILE 'HOME' ENTERED AT 11:11:23 ON 15 APR 2008)

     FILE 'REGISTRY' ENTERED AT 11:11:28 ON 15 APR 2008
L1              STRUCTURE UPLOADED
L2              STRUCTURE UPLOADED
L3            1 S L1
L4           29 S L1 FULL
L5          225 S L2 FULL
L6          196 S L5 NOT L4

     FILE 'CA' ENTERED AT 11:12:05 ON 15 APR 2008
L7           37 S L6

=>

---Logging off of STN---



=>
Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 11:12:32 ON 15 APR 2008
```